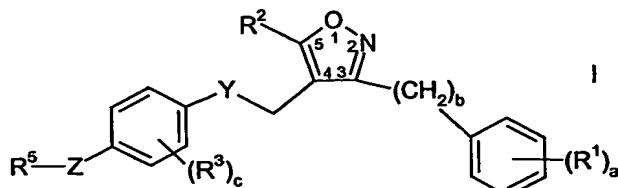


## CLAIMS

That Which Is Claimed Is:

1. A compound of formula (I):

5



wherein:

a is 1-5;

10 each R<sup>1</sup> is the same or different and is independently selected from the group consisting of halo, alkyl, alkenyl, -OR<sup>6</sup>, -S(O)<sub>f</sub>R<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -R<sup>4</sup>OR<sup>6</sup>, -R<sup>4</sup>S(O)<sub>f</sub>R<sup>6</sup>, -R<sup>4</sup>NR<sup>6</sup>R<sup>7</sup> and cyano;

b is 0-3;

R<sup>2</sup> is selected from the group consisting of alkyl, alkenyl, C<sub>3-6</sub>cycloalkyl,

15 C<sub>3-6</sub>cycloalkenyl, -OR<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -R<sup>4</sup>OR<sup>6</sup>, -R<sup>4</sup>NR<sup>6</sup>R<sup>7</sup>, cyano and nitro;

Y is -O- or -N(R<sup>8</sup>)-;

c is 0-4;

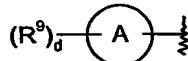
each R<sup>3</sup> is the same or different and is independently selected from the group consisting of halo, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, -OR<sup>6</sup>, -COR<sup>6</sup>,

20 -CO<sub>2</sub>R<sup>6</sup>, -CH(R<sup>6</sup>)OR<sup>7</sup>, -S(O)<sub>f</sub>R<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -R<sup>4</sup>cycloalkyl, -R<sup>4</sup>OR<sup>6</sup>, -R<sup>4</sup>COR<sup>6</sup>, -R<sup>4</sup>CO<sub>2</sub>R<sup>6</sup>, -R<sup>4</sup>S(O)<sub>f</sub>R<sup>6</sup>, -R<sup>4</sup>NR<sup>6</sup>R<sup>7</sup> and cyano

Z is selected from the group consisting of -O-R<sup>4</sup>-, -R<sup>4</sup>-O-, -S(O)<sub>f</sub>-R<sup>4</sup>-, -R<sup>4</sup>-S(O)<sub>f</sub>-, -N(R<sup>8</sup>)-R<sup>4</sup>-, -R<sup>4</sup>-N(R<sup>8</sup>)-, -C(O)N(R<sup>8</sup>)-, -C(O)R<sup>4</sup>N(R<sup>8</sup>)-, -S(O)<sub>f</sub>N(R<sup>8</sup>)- and -S(O)<sub>f</sub>R<sup>4</sup>N(R<sup>8</sup>)-;

25 each R<sup>4</sup> is the same or different and is independently selected from the group consisting of alkylene and alkenylene;

R<sup>5</sup> is selected from the group consisting of R<sup>6</sup>O-, R<sup>6</sup>O<sub>2</sub>C-, and



30 wherein Ring A is aryl or a 5-12 membered heterocycle or heteroaryl;

d is 0-4;

each R<sup>9</sup> is the same or different and is independently selected from the group consisting of halo, alkyl, alkenyl, alkynyl, cycloalkyl, -OR<sup>6</sup>, -COR<sup>6</sup>, -CO<sub>2</sub>R<sup>6</sup>, -CH(R<sup>6</sup>)OR<sup>7</sup>, -S(O)<sub>f</sub>R<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -R<sup>4</sup>cycloalkyl, -R<sup>4</sup>OR<sup>6</sup>, -R<sup>4</sup>COR<sup>6</sup>, -R<sup>4</sup>CO<sub>2</sub>R<sup>6</sup>, -R<sup>4</sup>S(O)<sub>f</sub>R<sup>6</sup>, -R<sup>4</sup>NR<sup>6</sup>R<sup>7</sup>, cyano, 5-9 membered heterocycle and 5-9 membered heteroaryl;

each R<sup>6</sup> and R<sup>7</sup> are the same or different and are each independently selected from the group consisting of H, alkyl, alkenyl, C<sub>3-6</sub>cycloalkyl and C<sub>3-6</sub>cycloalkenyl;

R<sup>8</sup> is H or alkyl; and

each f is the same or different and is independently selected from the group

consisting of 0, 1 and 2;

or a pharmaceutically acceptable salt, solvate or physiologically functional derivative thereof.

2. The compound according to claim 1 wherein a is 1-2.

15

3. The compound according to any of claims 1-2 wherein each R<sup>1</sup> is the same or different and is independently selected from the group consisting of halo and -OR<sup>6</sup>.

4. The compound according to any of claims 1-3 wherein b is 0 or 1.

20

5. The compound according to any of claims 1-4 wherein R<sup>2</sup> is selected from the group consisting of alkyl and C<sub>3-6</sub>cycloalkyl.

6. The compound according to any of claims 1-5 wherein Y is -O-.

25

7. The compound according to any of claims 1-6, wherein c is 0-2.

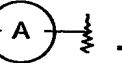
8. The compound according to any of claims 1-7, wherein each R<sup>3</sup> is the same or different and is independently selected from the group consisting of halo and alkyl.

30

9. The compound according to any of claims 1-8, wherein Z is selected from the group consisting of -O-R<sup>4</sup>-, -R<sup>4</sup>-O-, -S(O)<sub>f</sub>-R<sup>4</sup>-, -N(R<sup>8</sup>)-R<sup>4</sup>-, -R<sup>4</sup>-N(R<sup>8</sup>)-, -C(O)N(R<sup>8</sup>)-,

-C(O)R<sup>4</sup>N(R<sup>8</sup>)-, -S(O)<sub>r</sub>N(R<sup>8</sup>)- and -S(O)<sub>r</sub>R<sup>4</sup>N(R<sup>8</sup>)-.

10. The compound according to any of claims 1-9, wherein R<sup>8</sup> is H or methyl.

5 11. The compound according to any of claims 1-10, wherein R<sup>5</sup> is selected from  
the group consisting of R<sup>6</sup>O<sub>2</sub>C-, and (R<sup>9</sup>)<sub>d</sub>—A—

12. The compound according to any of claims 1-11, wherein R<sup>5</sup> is (R<sup>9</sup>)<sub>d</sub>—A— and Ring A is phenyl or furan.

10

13. A pharmaceutical composition comprising a compound according to any of claims 1-12.

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14. The pharmaceutical composition according to claim 13, further comprising a pharmaceutically acceptable carrier or diluent.

15. A method for the treatment or prophylaxis of a condition mediated by FXR in a subject, said method comprising administering to said subject a therapeutically effective amount of a compound according to any of claims 1-12.

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16. A method for the treatment or prophylaxis of cardiovascular disease in a subject, said method comprising administering to said subject a therapeutically effective amount of a compound according to any of claims 1-12.

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17. The method according to claim 16, wherein said cardiovascular disease is selected from atherosclerosis and hypercholesterolemia.

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18. A method for the treatment or prophylaxis of cholestatic liver disease in a subject comprising administering a therapeutically effective amount of a compound according to any of claims 1-12.

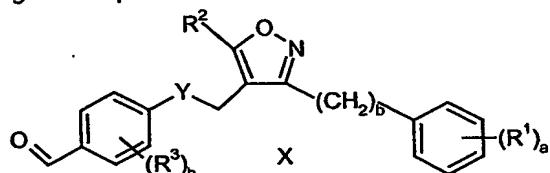
19. A method for the treatment or prophylaxis of organ fibrosis in a subject comprising administering a therapeutically effective amount of a compound according to any of claims 1-12.

5 20. A method for increasing HDL cholesterol in a subject, said method comprising administering a therapeutically effective amount of a compound according to any of claims 1-12.

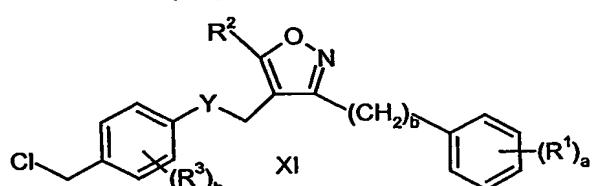
10 21. A method for lowering triglycerides in a subject, said method comprising administering a therapeutically effective amount of a compound according to any of claims 1-12.

22. A process for preparing a compound according to any of claims 1-12, said process comprising the steps of:

15 a) reducing a compound of formula (X):

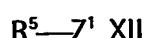


20 followed by chorination to prepare a compound of formula (XI):



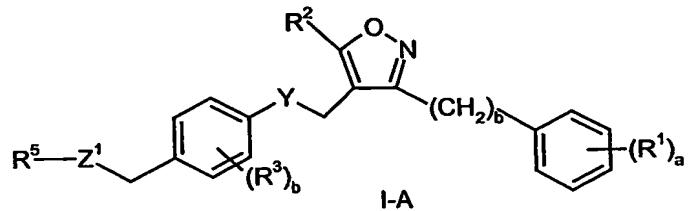
25 and

b) reacting the compound of formula (XI) with a compound of formula (XII):



wherein Z<sup>1</sup> is -O-, -S(O)<sub>r</sub>- or -N(R<sup>8</sup>)-;

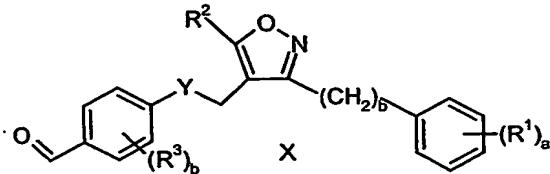
to prepare a compound of formula (I-A):



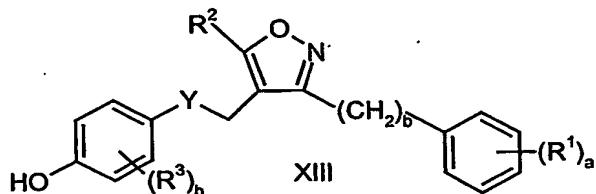
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23. A process for preparing a compound according to any of claims 1-12, said process comprising the steps of:

10 a) rearranging the carbonyl functionality of the compound of formula (X):

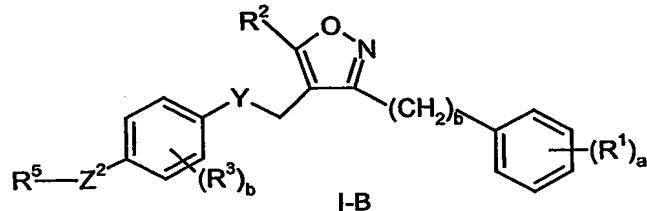


15 followed by hydrolysis to prepare a compound of formula (XIII):



20 and

b) reacting the compound of formula (XIII) with a suitable electrophile to prepare a compound of formula (I-B):

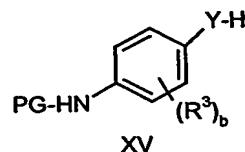


wherein Z^2 is -R^4-O-.

24. A process for preparing a compound according to any of claims 1-12, said

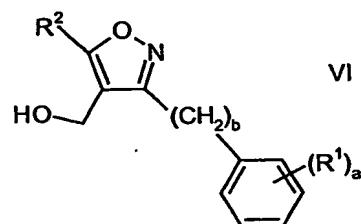
30 process comprising the steps of:

a) reacting a protected compound of formula (XV):



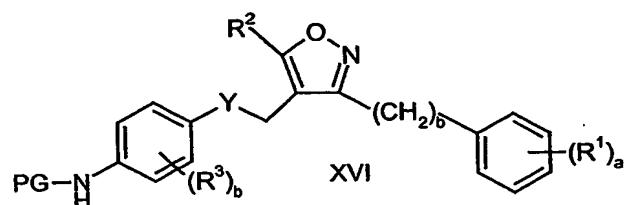
5               wherein PG is a protecting group;

with a compound of formula (VI):



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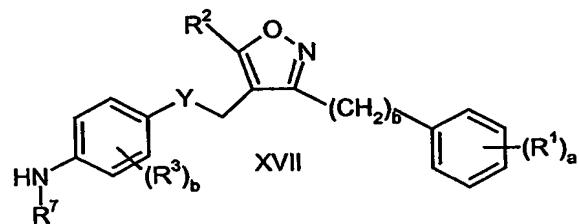
to prepare a compound of formula (XVI):



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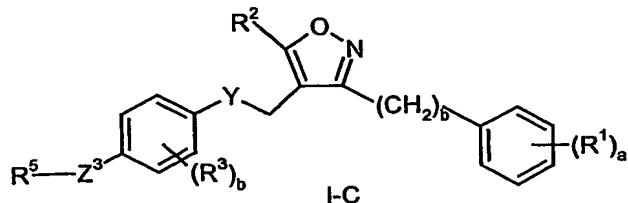
b)     optionally alkylating the compound of formula (XVI), followed by deprotecting the compound of formula (XVI) to prepare a compound of formula (XVII):

20



and

25   c)     reacting the compound of formula (XVII) with a suitable electrophile to prepare a compound of formula (I-C):



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wherein Z<sup>3</sup> is selected from the group consisting of -R<sup>4</sup>-O-, -R<sup>4</sup>-S(O)R-, -R<sup>4</sup>-N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -C(O)R<sup>4</sup>N(R<sup>8</sup>)-, -S(O)R-N(R<sup>8</sup>)- and - S(O)R-R<sup>4</sup>N(R<sup>8</sup>)-.

25. A compound according to any of claims 1-12 for use in therapy.

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26. A compound according to any of claims 1-12 for use in the treatment or prophylaxis of a condition mediated by FXR in a subject.

10 27. A compound according to any of claims 1-12 for use in the treatment or prophylaxis of cardiovascular disease in a subject.

28. A compound according to any of claims 1-12 for use in the treatment or prophylaxis of atherosclerosis or hypercholesterolemia in a subject.

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29. A compound according to any of claims 1-12 for use in the treatment or prophylaxis of cholestatic liver disease in a subject.

30. A compound according to any of claims 1-12 for use in the treatment or prophylaxis of organ fibrosis in a subject.

20

31. A compound according to any of claims 1-12 for use in increasing HDL cholesterol in a subject.

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32. A compound according to any of claims 1-12 for use in lowering triglycerides in a subject.

33. Use of a compound according to any of claims 1-12 for the preparation of a medicament for the treatment or prophylaxis of a condition mediated by FXR in a subject.

30

34. Use of a compound according to any of claims 1-12 for the preparation of a medicament for the treatment or prophylaxis of cardiovascular disease in a subject.

35. Use of a compound according to any of claims 1-12 for the preparation of a medicament for the treatment or prophylaxis of atherosclerosis or hypercholesterolemia in a subject.

5 36. Use of a compound according to any of claims 1-12 for the preparation of a medicament for the treatment or prophylaxis of cholestatic liver disease in a subject.

37. Use of a compound according to any of claims 1-12 for the preparation of a medicament for the treatment or prophylaxis of organ fibrosis in a subject.

10 38. Use of a compound according to any of claims 1-12 for the preparation of a medicament for increasing HDL cholesterol in a subject.

15 39. Use of a compound according to any of claims 1-12 for the preparation of a medicament for lowering triglycerides in a subject.

40. A pharmaceutical composition comprising a compound according to any of claims 1-12 for use in the treatment or prophylaxis of a condition mediated by FXR.